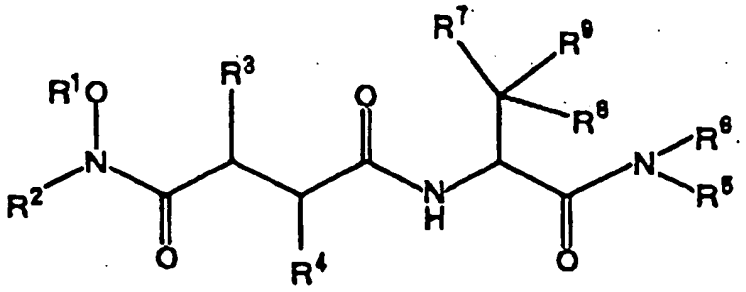
5. (Twice Amended) A metalloproteinase inhibitor which comprises an effective amount of at least a member selected from the group consisting of a compound of the formula (I):

B1 (cont.



(I)

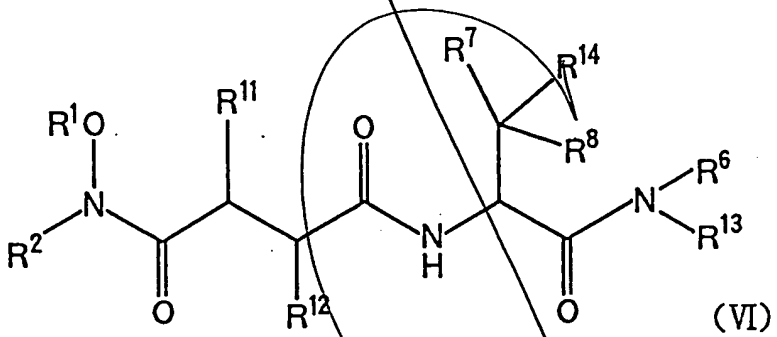
wherein R^1 to R^9, all have the same meanings as defined in claim 16, and a pharmaceutically acceptable salt or solvate thereof.

B2

8. (Twice Amended) A method of prophylactically and/or therapeutically treating diseases and/or disorders associated with tissue degradation comprising administering an effective amount of the compound according to claim 16.

12. (Twice Amended) A compound having the following formula (VI):

B3



(VI)

wherein R^1, R^2, and R^6 to R^8, all have the same meanings as defined in claim 16,

R^{11} has the same meaning as defined for R^3, or is selected from the group consisting of protected hydroxy, protected guanido-substituted phenyl-lower (C_1-C_4) alkyl, protected amino-substituted phenyl-lower (C_1-C_4) alkyl, nitro-substituted phenyl-lower (C_1-C_4) alkyl, protected amino-substituted (C_1-C_6) alkyl, nitro-substituted (C_1-C_6) alkyl, protected carboxy-substituted phenyl-lower (C_1-C_4) alkyl, protected hydroxy-substituted phenyl-lower (C_1-C_4) alkyl, protected guanido-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, protected amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, protected hydroxy-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, protected carboxy-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, protected hydroxy-containing (C_1-C_8) straight chain or branched alkyl, and cyano-substituted phenyl-lower (C_1-C_4) alkyl;

R^{12} has the same meaning as defined for R^4, or is protected hydroxy-substituted (C_1-C_8) alkyl;

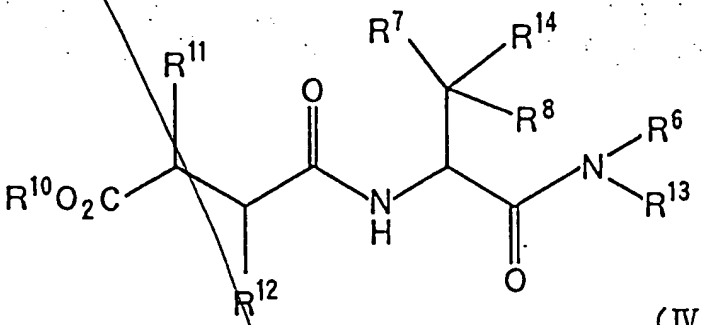
R^{13} has the same meaning as defined for R^5, or is selected from the group consisting of protected carboxy-substituted lower (C_1-C_4) alkyl, protected hydroxy-substituted lower (C_1-C_4) alkyl, protected bis(phosphono)hydroxymethyl-substituted (C_1-C_{11}) alkyl, and a protected nitrogen-containing heterocyclic group; and

R^{14} has the same meaning as defined for R^9, or is selected from the group consisting of protected amino, protected hydroxy, and a group of the formula: -X-E or -X-A-E

wherein X and A, both have the same meanings as given above, and E is selected from the group consisting of nitro, cyano, amino, carboxyl, (C_1-C_{11}) hydroxyalkyl, protected amino, protected guanido, protected amidino, protected acylimidoyl, protected benzimidoyl, protected bis(phosphono)methyl, protected bis(phosphono)hydroxymethyl, and protected (C_1-C_{11}) alkyl-substituted imidazol-3-yl;

or a salt thereof.

13. (Twice Amended) A compound having the following formula (IV):



(IV)

wherein R^6 to R^8, all have the same meanings as defined in claim 16,

R^{10} is selected from the group consisting of unsubstituted or optionally substituted alkyl, unsubstituted or optionally substituted aralkyl, and a carboxy-protecting group;

R^{11} has the same meaning as defined for R^3, or is selected from the group consisting of protected hydroxy, protected guanido-substituted phenyl-lower (C_1-C_4) alkyl, protected amino-substituted phenyl-lower (C_1-C_4) alkyl, nitro-substituted phenyl-lower (C_1-C_4) alkyl, protected amino-substituted (C_1-C_6) alkyl, nitro-substituted (C_1-C_6) alkyl, protected carboxy-substituted phenyl-lower (C_1-C_4) alkyl, protected hydroxy-substituted phenyl-lower (C_1-C_4) alkyl, protected guanido-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, protected amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, protected hydroxy-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, protected carboxy-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, protected hydroxy-containing (C_1-C_8) straight chain or branched alkyl, and cyano-substituted phenyl-lower (C_1-C_4) alkyl;

R^{12} has the same meaning as defined for R^4, or is protected hydroxy-substituted (C_1-C_8) alkyl;

bis(phosphono)methyl, protected bis(phosphono)hydroxymethyl, and protected (C_1-C_{11}) alkyl-substituted imidazol-3-yl;

R^{13} has the same meaning as defined for R^5, or is selected from the group consisting of protected carboxy-substituted lower (C_1-C_4) alkyl, protected hydroxy-substituted lower (C_1-C_4 alkyl, protected bis(phosphono)hydroxymethyl-substituted (C_1-C_{11}) alkyl, and a protected nitrogen-containing heterocyclic group; and

B3 COOH

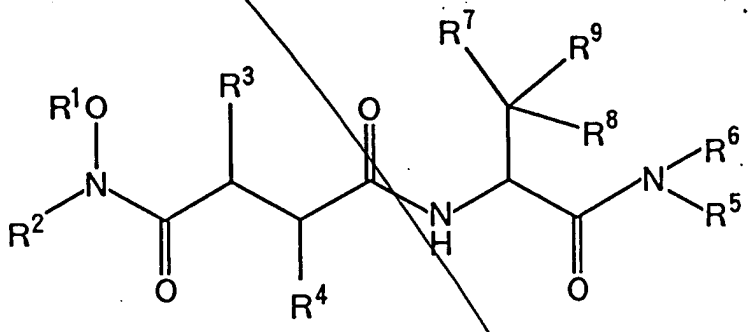
R^{14} has the same meaning as defined for R^9, or is selected from the group consisting of protected amino, protected hydroxy, and a group of the formula: -X-E or -X-A-E

wherein X and A, both have the same meanings as given above, and E is selected from the group consisting of nitro, cyano, amino, carboxyl, (C_1-C_{11}) hydroxyalkyl, protected amino, protected guanido, protected amidino, protected acylimidoyl, protected benzimidoyl, protected

or a salt thereof.

Please add the following new claims:

16. A compound having the following formula (I):



(I)

wherein R^1, R^2, R^6, R^7 and R^8 are each hydrogen,

1) R^3 is (C_1-C_9) alkyl,

R^4 is (C_3-C_9) alkyl,

R^5 is (C_1-C_4) alkyl,

R^9 is -X-Y, and Y is -A-B or -B,

wherein X, Y, A and B are selected from the following combinations:

① X is (C_1-C_6) alkylene, Y is -A-B, A is imino and B is amidino;

② X is (C_1-C_6) alkylene, Y is -B and B is amino;

③ X is phenylene, Y is -A-B, A is lower (C_1-C_4) alkylene-imino and B is lower (C_1-C_4) acylimidoyl;

④ X is (C_1-C_6) alkylene, Y is -A-B, A is imino and B is selected from the group consisting of lower (C_1-C_4) acylimidoyl and benzimidoyl;

⑤ X is phenylene, Y is -A-B, A is lower (C_1-C_4) alkyl and B is amino; and

⑥ X is phenylene, Y is -A-B, A is imino and B is selected from the group consisting of tetra-lower (C_1-C_4) alkyl bis(phosphono)methyl and tri-lower (C_1-C_4) alkyl

bis(phosphono)methyl;

2) R^3 is (C_1-C_9) alkyl,

R^4 is (C_3-C_9) alkyl,

R^5 is hydroxy-substituted (C_1-C_6) alkyl or a nitrogen-containing heterocyclic radical,

R^9 is -X-Y, and Y is -A-B,

wherein X is (C_1-C_6) alkylene,

A is imino and

B is lower (C_1-C_4) acylimidoyl;

3) R^3 is (C_1-C_9) alkyl,

R^4 is (C_3-C_9) alkyl,

① R^5 is (C_3-C_7) cycloalkyl,

R^9 is -X-Y, and Y is -B,

wherein X is (C_1-C_6) alkylene and

B is amino; or

② R^5 is a nitrogen-containing heterocyclic radical,

R^9 is -X-Y, and Y is -A-B,

wherein X is phenylene,

A is lower (C_1-C_4) alkylene-imino and

B is lower (C_1-C_4) acylimidoyl;

4) R^3 is (C_1-C_9) alkyl,

R^4 is (C_3-C_9) alkyl,

R^5 is carboxy-substituted lower (C_1-C_4) alkyl, di-lower (C_1-C_4) alkylamino-substituted lower (C_1-C_4) alkyl or hydroxy-substituted (C_1-C_6) alkyl, and

R^9 is -X-Y,

wherein X is phenylene and

Y is -A-B,

wherein A and B are selected from the following combinations:

① A is lower (C_1-C_4) alkylene-imino and B is lower (C_1-C_4) acylimidoyl; and

② A is lower (C_1-C_4) alkylene and B is amino;

5) R^3 is (C_1-C_9) alkyl,

R^4 is (C_3-C_9) alkyl,

① when R^5 is hydroxy-substituted (C_1-C_6) alkyl,

R^9 is -X-Y,

wherein X is phenylene and

Y is -A-B,

wherein

A is lower (C_1-C_4) alkylene-imino and

B is lower (C_1-C_4) acylimidoyl; or

② when R^5 is lower (C_1-C_4) alkyl,

R^9 is -X-Y,

wherein X is (C_1-C_6) alkylene and

Y is -A-B,

wherein A is imino and

B is amidino;

6) R^3 is phenyl-lower (C_1-C_4) alkyl,

R^4 is (C_3-C_9) alkyl,

① R^5 is lower (C_1-C_4) alkyl,

R^9 is -X-Y, and Y is -A-B,

wherein X is phenylene and

A is lower (C_1-C_4) alkylene and

B is amino; or

② R^5 is di-lower (C_1-C_4) alkylamino-substituted lower (C_1-C_4) alkyl, hydroxy-substituted (C_1-C_6) alkyl or lower (C_1-C_4) alkyl,

R^9 is -X-Y, and Y is -A-B,
wherein X is (C_1-C_6) alkylene and
A is imino and
B is lower (C_1-C_4) acylimidoyl;

SUB
Cl
cont.

7) R^3 is nitrogen-containing heterocyclic radical-substituted lower (C_1-C_4) alkyl, carboxy-substituted phenyl-lower (C_1-C_4) alkyl, amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, hydroxy-substituted phenyl-lower (C_1-C_4) alkyl, lower (C_1-C_4) alkoxycarbonyl-substituted phenyl-lower (C_1-C_4) alkyl, oxygen-containing (C_1-C_6) straight chain or branched alkyl, or hydroxy-substituted (C_1-C_8) alkyl;

B4
cont.

R^4 is (C_3-C_9) alkyl,

R^5 is lower (C_1-C_4) alkyl,

R^9 is -X-Y, and Y is -B,
wherein X is trimethylene and
B is amino;

8) ① R^3 is (C_1-C_9) alkyl, and

R^4 is hydroxy-substituted (C_3-C_8) alkyl, or

② R^3 is nitrogen-containing heterocyclic radical-substituted lower (C_1-C_4) alkyl, and

R^4 is (C_3-C_9) alkyl,

R^5 is lower (C_1-C_4) alkyl,

R^9 is -X-Y, and Y is -B,
wherein X is (C_1-C_6) alkylene and
B is amino;

9) R^3 is amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, lower (C_1-C_4) acylimidoylimino-substituted (C_1-C_6) alkyl, lower (C_1-C_4) alkylimino-substituted (C_1-C_6) alkyl, nitrogen-containing heterocyclic radical-substituted lower (C_1-C_4) alkylimino-substituted (C_1-C_6) alkyl, or isopropyliminomethylbenzyl,

R^4 is (C_3-C_9) alkyl,

R^5 is lower (C_1-C_4) alkyl,

R^9 is hydrogen;

10) R^3 is aryloxy-substituted lower (C_1-C_4) alkyl, (C_3-C_7) cycloalkyl-substituted lower (C_1-C_4) alkyl, arylsulfonamido-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, alkylsulfonamido-substituted lower(C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, or amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl,

R^4 is (C_3-C_9) alkyl,

R^5 is lower (C_1-C_4) alkyl,

R^9 is -X-Y, and Y is -A-B,

wherein X is (C_1-C_6) alkylene,

A is imino and

B is amidino;

11) R^3 is phenyl-lower (C_1-C_4) alkyl,

R^5 is lower (C_1-C_4) alkyl,

(1) when R^4 is (C_3-C_9) alkyl,

R^9 is -X-Y, and Y is -A-B,

wherein X is (C_1-C_6) alkylene,
A is imino and
B is amidino;

② when R^4 is aryl-lower (C_1-C_4) alkyl,
R^9 is -X-Y, and Y is -A-B,
wherein X is (C_1-C_6) alkylene,
A is imino and
B is amidino; or

③ when R^4 is (C_3-C_9) alkyl,
R^9 is -X-Y, and Y is -B,
wherein X is (C_1-C_6) alkylene, and
B is amino;

12) R^3 is amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl,
R^4 is (C_3-C_9) alkyl,
R^5 is lower (C_1-C_4) alkyl,
R^9 is -X-Y, and Y is -B,
wherein X is (C_1-C_6) alkylene, and
B is amino;

13) R^3 is amino-substituted phenyl-lower (C_1-C_4) alkyl,
R^4 is (C_3-C_9) alkyl,
R^5 is di-lower (C_1-C_4) alkylamino-substituted lower (C_1-C_4) alkyl,
R^9 is -X-Y, and Y is -A-B,
wherein X is (C_1-C_6) alkylene, and
A is imino and
B is lower (C_1-C_4) acylimidoyl;

14) R^3 is guanido-substituted phenyl-lower (C_1-C_4) alkyl, guanido-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, or amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl,

R^4 is (C_3-C_9) alkyl,

R^5 is lower (C_1-C_4) alkyl,

R^9 is -X-Y, and Y is -B,

wherein X is (C_1-C_6) alkylene, and

B is amino; or

B4 CONT.

15) R^3 is amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl,

SUB C1

R^4 is (C_3-C_9) alkyl,

R^5 is lower (C_1-C_4) alkyl,

CONT.

R^9 is -X-Y, and Y is -A-B,

wherein X is phenylene,

A is lower (C_1-C_4) alkylene, and

B is amino;

or a pharmaceutically acceptable salt or solvate thereof.

17. The compound according to claim 16 wherein R^1, R^2, R^6, R^7 and R^8 are each hydrogen,

1) R^3 is methyl,
R^4 is isobutyl,
R^5 is methyl,
R^9 is -X-Y and Y is -A-B or -B
wherein X, Y, A and B are selected from the following combinations:

① X is methylene or ethylene, Y is -A-B, A is imino and B is amidino;

② X is ethylene or trimethylene, Y is -B and B is amino;

③ X is phenylene, Y is -A-B, A is methyleneimino and B is acetimidoyl;

④ X is trimethylene, Y is -A-B, A is imino and B is selected from the group consisting of acetimidoyl, propionimidoyl and benzimidoyl;

⑤ X is phenylene, Y is -A-B, A is methylene and B is amino; and

⑥ X is phenylene, Y is -A-B, A is imino and B is selected from the group consisting of tetra-ethyl bis(phosphono)methyl, tetra-methyl bis(phosphono)methyl, tri-ethyl bis(phosphono)methyl and tri-methyl bis(phosphono)methyl;

2) R^3 is methyl,
R^4 is isobutyl,
R^5 is 2-hydroxy-1-methylethyl or piperidyl,
R^9 is -X-Y, and Y is -A-B,
wherein X is trimethylene,
A is imino and
B is acetimidoyl;

3) R^3 is methyl,
R^4 is isobutyl,
① R^5 is cyclopropyl,
R^9 is -X-Y, and Y is -B,
wherein X is ethylene and
B is amino;

SUB C1 cont.

② R^5 is morpholino,
R^9 is -X-Y, and Y is -A-B,
wherein X is phenylene,
A is methyleneimino and
B is acetimidoyl;

B4 cont.

4) R^3 and R^4 are each isobutyl,
R^5 is 2-carboxyethyl, 2-dimethylaminoethyl or
2-hydroxyethyl,
R^9 is -X-Y,
wherein X is phenylene and
Y is -A-B,
wherein A and B are selected from the following
combinations:
① A is methyleneimino and
B is acetimidoyl; and
② A is methylene and
B is amino;

5) R^3 and R^4 are each isobutyl,
① when R^5 is 2-hydroxy-1,1-dimethylethyl,
R^9 is -X-Y,
wherein X is phenylene and
Y is -A-B,
wherein A is methyleneimino and
B is acetimidoyl;

② when R^5 is methyl,
R^9 is -X-Y,
wherein X is methylene or ethylene and
Y is -A-B,
wherein A is imino and
B is amidino;

SUB C1 Cont.

6) R^3 is phenylpropyl,
R^4 is isobutyl,
① R^5 is methyl,
R^9 is -X-Y, and Y is -A-B,
wherein X is phenylene and
A is methylene and
B is amino; or

B4 Cont.

② R^5 is 2-dimethylaminoethyl, 2-hydroxyethyl or methyl,
R^9 is -X-Y, and Y is -A-B,
wherein X is trimethylene,
A is imino and
B is acetimidoyl;

7) R^3 is morpholinopropyl, carboxyphenylpropyl, aminomethylphenylpropyl, hydroxyphenylpropyl, methoxycarbonylphenylpropyl, piperidinylpropyl, iso-butyloxyethyl, butoxyethyl, ethoxyethoxyethyl or hydroxyoctyl,
R^4 is isobutyl,
R^5 is methyl,
R^9 is -X-Y, and Y is -B,
wherein X is trimethylene and
B is amino;

8) ① R^3 is isobutyl, and
R^4 is hydroxyoctyl, or
② R^3 is (3,4,4-trimethyl-2,5-dioxo-imidazolidin-1-yl)-propyl, and
R^4 is isopropyl,
R^5 is methyl,
R^9 is -X-Y, and Y is -B,
wherein X is trimethylene and
B is amino;

9) R^3 is aminomethylphenylpropyl, aminomethylbenzyl, acetimidoyliminopentyl, isopropyliminopentyl, (pyridin-4-ylmethylimino)pentyl or isopropyliminomethylbenzyl,
R^4 is isobutyl,
R^5 is methyl, and
R^9 is hydrogen;

10) R^3 is phenoxyethyl, cyclohexylpropyl, toluenesulfonamido-methylbenzyl, methanesulfonamidomethylbenzyl or phthalimidomethylbenzyl,
R^4 is isobutyl,
R^5 is methyl, and
R^9 is -X-Y, and Y is -A-B,
wherein X is ethylene,
A is imino and
B is amidino;

11) R^3 is phenylpropyl,
R^5 is methyl,
① when R^4 is isobutyl,
R^9 is -X-Y, and Y is -A-B,
wherein X is methylene,
A is imino and
B is amidino;

② when R^4 is naphthylmethyl,
R^9 is -X-Y, and Y is -A-B,
wherein X is ethylene,
A is imino and
B is amidino; or

SUB C1 Cont.

③ when R^4 is isopropyl,
R^9 is -X-Y, and Y is -B,
wherein X is trimethylene, and
B is amino;

12) R^3 is aminomethylphenylpropyl,

① R^4 is isobutyl,
R^5 is methyl,
R^9 is -X-Y, and Y is -B,
wherein X is methylene or ethylene, and
B is amino;

B4 Cont.

② R^4 is isopropyl,
R^5 is methyl,
R^9 is -X-Y, and Y is -B,
wherein X is ethylene, and
B is amino;

13) R^3 is aminophenylpropyl,
R^4 is isobutyl,
R^5 is dimethylaminoethyl,
R^9 is -X-Y, and Y is -A-B,
wherein X is trimethylene, and
A is imino and
B is acetimidoyl;

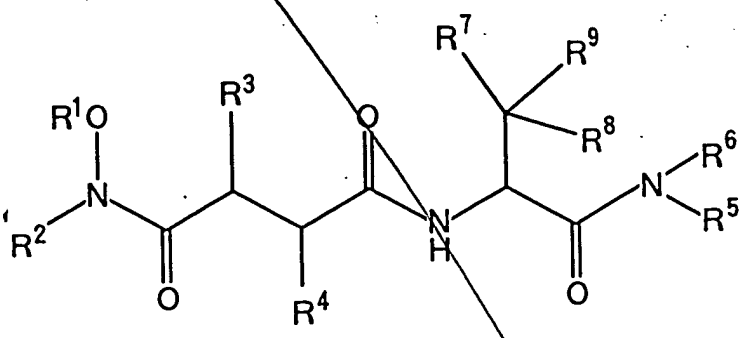
14) R^3 is guanidinophenylpropyl, guanidomethylphenylpropyl or aminomethylbenzyl,
R^4 is isobutyl,
R^5 is methyl, and
R^9 is -X-Y, and Y is -B,
wherein X is ethylene, and
B is amino; or

B4
Cont.

15) R^3 is aminomethylbenzyl,
R^4 is isobutyl,
R^5 is methyl, and
R^9 is -X-Y, and Y is -A-B,
wherein X is phenylene,
A is methylene, and
B is amino.

SUB
C1
Cont.

18. A compound having the following formula (I):



(I)

wherein R^1, R^2, R^6, R^7 and R^8 are each hydrogen,

1) R^3 is methyl,
R^4 is isobutyl,
R^5 is methyl,
R^9 is -X-Y, and Y is -A-B or -B,
wherein X, Y, A and B are selected from the following combinations:

① X is (C_1-C_6) alkylene, Y is -A-B, A is imino and B is amidino;

② X is (C_1-C_6) alkylene, Y is -B and B is amino;

③ X is phenylene, Y is -A-B, A is methyleneimino and B is acetimidoyl;

④ X is trimethylene, Y is -A-B, A is imino and B is selected from the group consisting of lower (C_1-C_4) acylimidoyl and benzimidoyl;

⑤ X is phenylene, Y is -A-B, A is methylene and B is amino; and

⑥ X is phenylene, Y is -A-B, A is imino and B is selected from the group consisting of tetra-lower (C_1-C_4) alkyl bis(phosphono)methyl and tri-lower (C_1-C_4) alkyl bis(phosphono)methyl;

2) R^3 is methyl,
R^4 is isobutyl,
R^5 is 2-hydroxy-1-methylethyl or piperidyl,
R^9 is -X-Y, and Y is -A-B,
wherein X is trimethylene,
A is imino and
B is acetimidoyl;

SUB
Cl
cont.

3) R^3 is methyl,
R^4 is isobutyl,
① R^5 is cyclopropyl,
R^9 is -X-Y, and Y is -B,
wherein X is ethylene and
B is amino;

B4
cont.

② R^5 is morpholino,
R^9 is -X-Y, and Y is -A-B,
wherein X is phenylene,
A is methyleneimino and
B is acetimidoyl;

4) R^3 and R^4 are each isobutyl,
R^5 is 2-carboxyethyl, 2-dimethylaminoethyl or 2-hydroxyethyl,
R^9 is -X-Y,
wherein X is phenylene and
Y is -A-B,
wherein A and B are selected from the following combinations:
① A is methyleneimino and
B is acetimidoyl; and
② A is methylene and
B is amino;

5) R^3 and R^4 are each isobutyl,

① when R^5 is 2-hydroxy-1,1-dimethylethyl,
R^9 is -X-Y,
wherein X is phenylene and
Y is -A-B,
wherein A is methyleneimino and
B is acetimidoyl;

SUB C1 CONT

② when R^5 is methyl,
R^9 is -X-Y,
wherein X is (C_1-C_6) alkylene and
Y is -A-B,
wherein A is imino and
B is amidino;

6) R^3 is phenylpropyl,
R^4 is isobutyl,

B4 CONT.

① R^5 is methyl,
R^9 is -X-Y, and Y is -A-B,
wherein X is phenylene and
A is methylene and
B is amino; or

② R^5 is 2-dimethylaminoethyl, 2-hydroxyethyl or methyl,
R^9 is -X-Y, and Y is -A-B,
wherein X is trimethylene,
A is imino and
B is acetimidoyl;

7) R^3 is nitrogen-containing heterocyclic radical-substituted propyl, carboxyphenylpropyl, aminomethylphenylpropyl, hydroxyphenylpropyl, methoxycarbonylphenylpropyl, oxygen-containing (C_1-C_6) straight chain or branched alkyl or hydroxyoctyl,
R^4 is isobutyl,
R^5 is methyl,
R^9 is -X-Y, and Y is -B,

wherein X is trimethylene and
B is amino;

8) ① R^3 is isobutyl, and
R^4 is hydroxyoctyl, or
② R^3 is (3,4,4-trimethyl-2,5-dioxo-imidazolidin-1-yl)-propyl, and
R^4 is isopropyl,
R^5 is methyl,
R^9 is -X-Y, and Y is -B,
wherein X is trimethylene and
B is amino;

B4 cont.

9) R^3 is amino-substituted methyl-substituted phenyl-lower (C_1-C_4) alkyl, acetimidoyliminopentyl, isopropyliminopentyl, (pyridin-4-ylmethylimino)pentyl or isopropyliminomethylbenzyl,
R^4 is isobutyl,
R^5 is methyl, and
R^9 is hydrogen;

SUB C1 cont.

10) R^3 is phenoxyethyl, cyclohexylpropyl, toluenesulfonamido-methylbenzyl, methanesulfonamidomethylbenzyl or phthalimidomethylbenzyl,
R^4 is isobutyl,
R^5 is methyl, and
R^9 is -X-Y, and Y is -A-B,
wherein X is ethylene,
A is imino and
B is amidino;

11) R^3 is phenylpropyl,
R^5 is methyl,
① when R^4 is isobutyl,
R^9 is -X-Y, and Y is -A-B,
wherein X is methylene,
A is imino and
B is amidino;

② when R^4 is naphthylmethyl,
R^9 is -X-Y, and Y is -A-B,
wherein X is ethylene,
A is imino and
B is amidino; or

③ when R^4 is isopropyl,
R^9 is -X-Y, and Y is -B,
wherein X is trimethylene, and
B is amino;

12) R^3 is aminomethylphenylpropyl,
R^4 is (C_3-C_9) alkyl,
R^5 is methyl,
R^9 is -X-Y, and Y is -B,
wherein X is (C_1-C_6) alkylene, and
B is amino;

13) R^3 is aminophenylpropyl,
R^4 is isobutyl,
R^5 is dimethylaminoethyl,
R^9 is -X-Y, and Y is -A-B,
wherein X is trimethylene, and
A is imino and
B is acetimidoyl;

14) R^3 is guanidinophenylpropyl, guanidomethylphenylpropyl or aminomethylbenzyl,
R^4 is isobutyl,
R^5 is methyl, and
R^9 is -X-Y, and Y is -B,
wherein X is ethylene, and
B is amino; or

SUB C1 cont.

15) R^3 is aminomethylbenzyl,
R^4 is isobutyl,
R^5 is methyl, and
R^9 is -X-Y, and Y is -A-B,
wherein X is phenylene,
A is methylene, and
B is amino;

B4 cont.

or a pharmaceutically acceptable salt or solvate thereof.